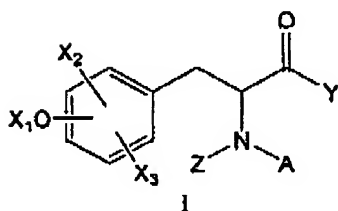


09/669,779

Patent Docket P1778R1

**Listing of Claims:**

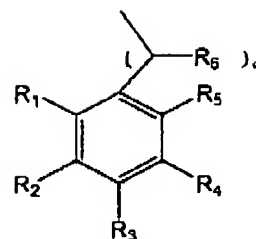
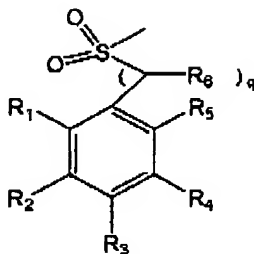
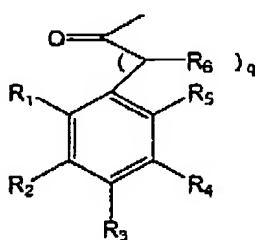
1. (currently amended) A compound of the formula 1:



wherein

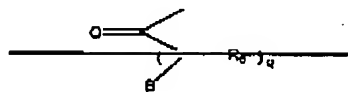
Z is H or lower alkyl;

A has the structure:



or

or



or

in which

B is cyanoalkyl, a carbocycle or a heterocycle optionally substituted with one or more R<sub>i</sub> substituents;

q is 0-3;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> independently are hydrogen, alkyl, amino, alkylamino, dialkylamino, nitro, urea, cyano, thio, alkylthio, hydroxy, alkoxy, alkoxyalkyl, alkoxy carbonyl, alkoxy carbonylamino,

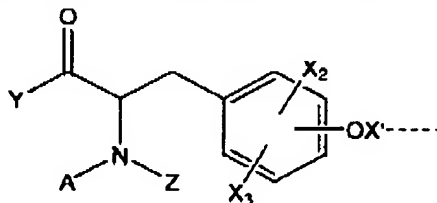
09/669,779

Patent Docket P1778R1

aryloxycarbonylamino, alkylsulfinyl, sulfonyl, alkylsulfonyl, aralkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkanoyl, alkanoylamino, cycloalkanoylamino, aryl, arylalkyl, halogen, or alkylphosphonyl, and  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  are substituted with 0-3 substituents selected from the group consisting of hydroxy, carboxyl, lower alkoxy, lower alkyl, nitro, oxo, cyano, carbocyclyl, heterocyclyl, heteroaryl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkanoylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, aryl, aroyl, heterocyclylcarbonyl, halogen and lower alkylphosphonyl; or two of  $R_1$  to  $R_5$  together form a carbocycle or heterocyclic ring;

Y is H, OH, alkoxy, alkoxyalkoxy, aryloxy, alkylaminoalkoxy, dialkylaminoalkoxy, alkylamino, arylamino, heterocyclyl or heteroarylalkyl, where each of the foregoing may be substituted or unsubstituted;

$X_1$  is H,  $C(O)OR$ ,  $C(O)NRaRb$ ,  $C(O)R$ , or  $C(O)SR$ , wherein R, Ra and Rb, individually, is hydrogen or alkyl, alkoxy, aryl, heterocyclyl, heteroaryl, substituted with 0-4 substituents selected from the group consisting of halogen, hydroxy, amino, carboxyl, nitro, cyano, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl, aralkyloxycarbonyl, alkylenedioxy, lower alkoxy, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroarylamino lower alkyl, halo lower alkyl, and alkoxy lower alkyl; wherein said heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl and aralkyloxycarbonyl substituent is optionally substituted with halogen, hydroxyl, amino, carboxyl, nitro, cyano, alkyl and alkoxy; and wherein Ra and Rb together with the nitrogen to which they are attached form a heterocyclyl or heteroaryl group substituted with 0-5 substituents R or Rd; wherein Rd has the structure



wherein  $X'$  is a divalent linker selected from the group consisting of  $C(O)NRa$ ,  $C(O)$  or a bond;

$X_2$  and  $X_3$  are each independently hydrogen, halogen, hydroxy, amino, carboxyl, nitro, cyano, or substituted or unsubstituted alkyl, aryl, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, alkylenedioxy, lower alkyl carbonylamino, lower alkenyl carbonylamino, aryl carbonylamino, arylalkyl carbonylamino, lower alkoxy carbonylamino, lower alkylamino carbonylamino, arylamino carbonylamino, lower

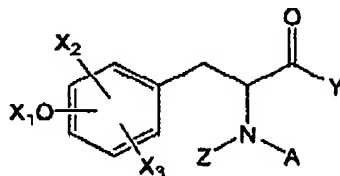
09/669,779

Patent Docket P1778R1

alkoxycarbonyl, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroaryl amino lower alkyl, halo lower alkyl, alkoxy lower alkyl; and wherein  $X_1$  and  $X_2$  or  $X_3$  may be bonded together to form a heterocyclic or heteroaryl ring(s); or  $X_3$  and Z together form a heterobicyclic ring;

or a pharmaceutically acceptable salt thereof.

2. (currently amended) A compound according to claim 1, having the formula:

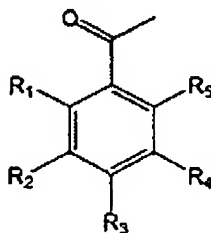


I

wherein

Z is H or lower alkyl;

A has the structure:



in which  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$ , independently are hydrogen, alkyl, amino, alkylamino, dialkylamino, nitro, cyano, thio, alkylthio, hydroxy, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkylsulfinyl, sulfonyl, alkylsulfonyl, alkanoyl, aryl, arylalkyl, halogen, or alkylphosphonyl, and  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  are

09/669,779

Patent Docket P1778R1

substituted with 0-3 substituents selected from the group consisting of hydroxy, carboxyl, lower alkoxy, carbonyl, lower alkyl, nitro, cyano, heterocyclyl, heteroaryl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, aryl, halogen and lower alkylphosphonyl;

Y is H, OH, alkoxy, alkoxyalkoxy, aryloxy, aminoalkylalkoxy, diaminoalkylalkoxy, alkylamino, arylamino, heterocyclyl or heteroarylalkyl, where each of the foregoing may be substituted or unsubstituted;

X<sub>1</sub> is H, C(O)OR, C(O)NRaRb, C(O)R, or C(O)SR, wherein R, Ra and Rb, individually, is hydrogen or alkyl, aryl, heterocyclyl, heteroaryl, substituted with 0-4 substituents selected from the group consisting of halogen, hydroxy, amino, carboxyl, nitro, cyano, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, alkylenedioxy, lower alkoxy, carbonyl, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroarylamino lower alkyl, halo lower alkyl, alkoxy lower alkyl; and wherein Ra and Rb together with the nitrogen to which they are attached may form a heterocyclyl or heteroaryl group substituted with 0-4 substituents R;

X<sub>2</sub> and X<sub>3</sub> are each independently hydrogen, halogen, hydroxy, amino, carboxyl, nitro, cyano, or substituted or unsubstituted alkyl, aryl, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, alkylenedioxy, lower alkyl carbonylamino, lower alkenyl carbonylamino, aryl carbonylamino, arylalkyl carbonylamino, lower alkoxy carbonylamino, lower alkylamino carbonylamino, arylamino carbonylamino, lower alkoxy carbonyl, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroarylamino lower alkyl, halo lower alkyl, alkoxy lower alkyl; and wherein X<sub>1</sub> and X<sub>2</sub> or X<sub>3</sub> may be bonded together to form a heterocyclic or heteroaryl ring(s);  
or a pharmaceutically acceptable salt thereof.

3. (canceled)

4. (canceled)

5. (previously presented) The compound of claim 2, wherein X<sub>1</sub> is C(O)NRaRb wherein Ra and Rb together with the nitrogen to which they are attached form a heterocyclyl or heteroaryl group substituted

09/669,779

Patent Docket P1778R1

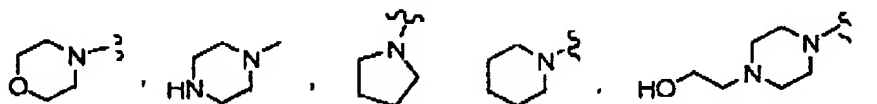
with 0-5 substituents selected from the group consisting of hydrogen, alkyl, alkoxy, aryl and R; wherein R is hydrogen or alkyl, alkoxy, aryl, heterocyclyl or heteroaryl, substituted with 0-4 substituents selected from the group consisting of halogen, hydroxy, amino, carboxyl, nitro, cyano, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl, aralkyloxycarbonyl, alkylenedioxy, lower alkoxycarbonyl, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroarylamino lower alkyl, halo lower alkyl, and alkoxy lower alkyl; wherein said heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl and aralkyloxycarbonyl substituent is optionally substituted with halogen, hydroxyl, amino, carboxyl, nitro, cyano, alkyl and alkoxy; and  $X_2$ ,  $X_3$  are each independently H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocyclyl, or heteroaryl.

6. (currently amended) The compound of claim 2 5, wherein  $X_1$  is  $C(O)OR$ ,  $C(O)R$ , or  $C(O)SR$  and R is heterocyclyl or heteroaryl, substituted with 0-4 substituents selected from the group consisting of halogen, hydroxy, amino, carboxyl, nitro, cyano, heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl, aralkyloxycarbonyl, alkylenedioxy, lower alkoxycarbonyl, lower alkyl, lower alkenyl, lower alkynyl, lower alkylthio, lower alkoxy, lower alkylamino, lower alkylsulfinyl, lower sulfonyl, lower alkylsulfonyl, lower alkanoyl, lower alkylphosphonyl, aminosulfonyl lower alkyl, hydroxy lower alkyl, alkylsulfinyl lower alkyl, alkylsulfonyl lower alkyl, alkylthio lower alkyl, heteroarylthio lower alkyl, heteroaryloxy lower alkyl, heteroarylamino lower alkyl, halo lower alkyl, and alkoxy lower alkyl; wherein said heterocyclyl, heteroaryl, aryl, aroyl, aryloxy, aralkyl, aralkyloxy, aryloxycarbonyl and aralkyloxycarbonyl substituent is optionally substituted with halogen, hydroxyl, amino, carboxyl, nitro, cyano, alkyl and alkoxy.

7. (canceled)

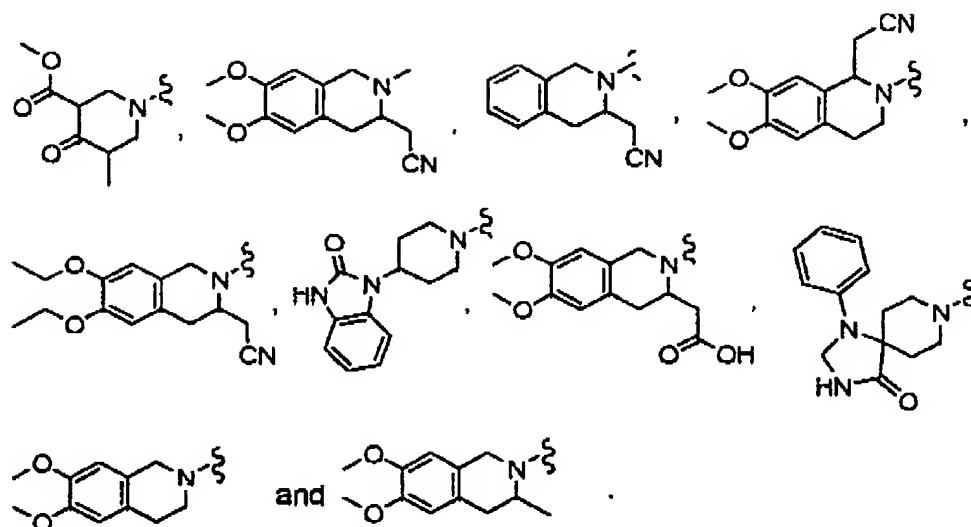
8 (canceled)

9. (previously presented) The compound of claim 5, wherein  $X_1$  is  $C(O)NRaRb$  and Ra and Rb together form a heterocyclyl group selected from the group consisting of

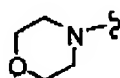


09/669.779

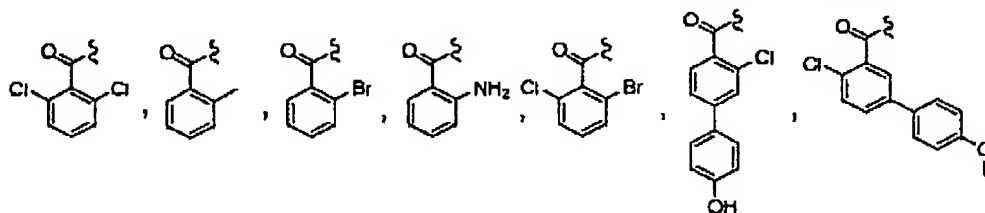
Patent Docket P1778R1



10. (previously presented) The compound of claim 9, wherein Ra and Rb together form the heterocyclyl group

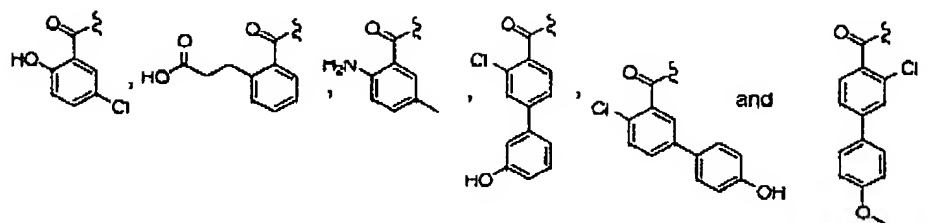


11. (canceled)
12. (canceled)
13. (previously presented) The compound of claim 1, wherein R<sub>1</sub>, R<sub>5</sub> or both are not hydrogen.
14. (previously presented) The compound of claim 1, wherein X<sub>2</sub>, X<sub>3</sub>, and Z are hydrogen.
15. (original) The compound of claim 1, wherein A is selected from the group consisting of

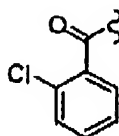


09/669,779

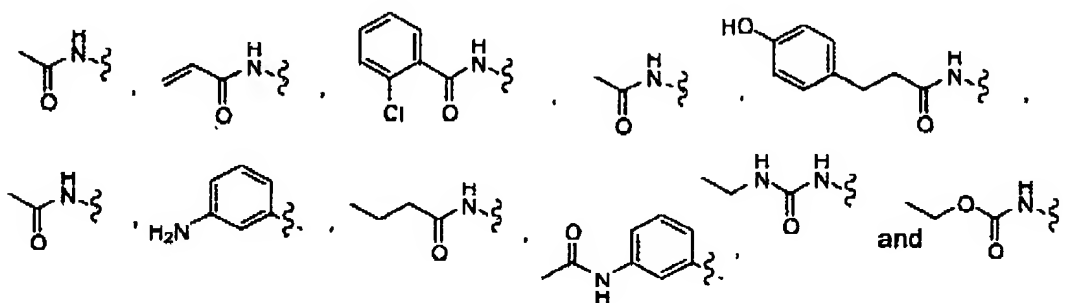
Patent Docket P1778R1



16. (original) The compound of claim 1, wherein A is



17. (original) The compound of claim 1, wherein  $X_2$  is a member selected from the group consisting of



18. (original) The compound of claim 1, wherein the compound has S stereochemical configuration.
19. (original) A composition, comprising the compound of claim 1 and a carrier or excipient.
20. (canceled)
21. (canceled)
22. (canceled)
23. (canceled)

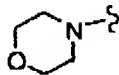




09/669,779

Patent Docket P1778R1

28. (currently amended) The compound of claim 27, wherein Ra and Rb together form the heterocyclyl group



29. (currently amended) The compound of claim 28, wherein A is

